## I CLAIM:

## 1. A compound of the formula

$$R^4$$
— $(CH_2)_n$ — $Y$ 
 $R^0$ 
 $R^3$ 
 $R^1$ 
 $(I)$ 

wherein

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 $R^1$  is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

10  $R^0$ ,  $R^2$  and  $R^3$  are each independently -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl) or halo;

R<sup>4</sup> is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

15 n is 2 or 3;

X is -S- or -HC=CH-; and

Y is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt thereof.

## 2. A compound of Claim 1 of the formula

$$R^4$$
— $(CH_2)_n$ — $Y$ 
 $R^3$ 

wherein

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5  $R^1$  is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl);

 $R^2$  and  $R^3$  are each independently -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl) or halo;

R<sup>4</sup> is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

X is -S- or -HC=CH-; and

Y is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-;

- or a pharmaceutically acceptable salt thereof.
  - 3. A compound according to Claims 1 or 2 wherein Y is -O-.
  - 4. A compound according to any of Claims 1 to 3 wherein n is 2.

5. A compound according to any of Claims 1 to 4 wherein R<sup>1</sup> is -OH or -OCH<sub>3</sub>.

- 6. A compound according to any of Claims 1 to 5 wherein  $\mathbb{R}^1$  is -OH.
- 7. A compound according to any of Claims 1 to 6 wherein R<sup>4</sup> is 1-piperidinyl or 1-pyrrolidinyl.

- 8. A compound according to any of Claims 1 to 7 wherein R<sup>4</sup> is 1-piperidinyl.
- 9. A compound according to any of Claims 1 to 8 wherein two of  $R^0$ ,  $R^2$  and 5  $R^3$  are -H.
  - 10. A compound according to any of Claims 1 to 8 wherein two of  $R^0$ ,  $R^2$  and  $R^3$  are -H and the other is -OH.
- 10 11. A compound according to any of Claims 1 to 9 wherein R<sup>0</sup>, R<sup>2</sup> and R<sup>3</sup> are all -H.
  - 12. A compound according to any of Claims 1 to 11 wherein X is -S-.
- 15 13. A compound according to any of Claims 1 to 12 wherein X is -HC=CH-.
  - 14. A compound according to Claim 1 wherein said compound is selected from the group consisting of:
- 11-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-6,11-dihydro-benzo[b]naphtho[2,3-20 d]thiophen-3-ol;
  - 11-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-6,11-dihydro-benzo[b]naphtho[2,3-d]thiophene-3,8-diol;
  - 11-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-6,11-dihydro-benzo[b]naphtho[2,3-d]thiophene-3,10-diol;
- 25 11-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-6,11-dihydro-benzo[b]naphtho[2,3-d]thiophene-3,9-diol;
  - 10-Fluoro-12-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydrobenzo[a]anthracen-3-ol;
- 12-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydro-benzo[a]anthracene-3,10-30 diol;
  - 12-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydro-benzo[a]anthracene-3,9-diol;

- 12-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydro-benzo[a]anthracene-3,11-diol;
- 12-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydro-benzo[a]anthracene-3,8-diol;
- 5 or a pharmaceutically acceptable salt thereof.
  - 15. A compound according to Claim 1 wherein said compound is 12-[4-(2-Piperidin-1-yl-ethoxy)-phenyl]-7,12-dihydro-benzo[a]anthracene-3,9-diol or a pharmaceutically acceptable salt thereof.

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16. A pharmaceutical composition comprising a compound according to any of Claims 1 to 15 or a pharmaceutically acceptable salt thereof, and optionally an effective amount of estrogen and progestin, in combination with a pharmaceutically acceptable salt, diluent, or excipient.

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- 17. A method for inhibiting a disease associated with estrogen deprivation comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to any one of Claims 1 through 15.
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- 18. A method according to Claim 17 wherein said patient is a human.
- 19. A method according to Claim 18 wherein said patient is a postmenopausal female.
- 25 20. A method according to any of Claims 17 to 19 wherein said disease is bone loss.
  - 21. A method according to any of Claims 17 through 19 wherein said disease is cardiovascular disease.

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22. A method for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen comprising administering to a patient in need thereof a

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therapeutically effective amount of a compound according to any one of Claims 1 through 15.

- 23. A method according to Claim 22 wherein said patient is a human.
- 24. A method according to Claim 23 wherein said patient is a postmenopausal female.
- 25. A method according to any of Claims 22 through 24 wherein the disease associated with an aberrant physiological response to endogenous estrogen is estrogen dependent cancer.
  - 26. A method according to Claim 25 wherein said cancer is breast cancer.
- 27. A method according to any of Claims 22 through 24 wherein the disease associated with an aberrant physiological response to endogenous estrogen is endometriosis.
- 28. A method according to any of Claims 22 through 24 wherein the disease associated with an aberrant physiological response to endogenous estrogen is uterine fibrosis.
  - 29. The use of a compound according to any of Claims 1 to 15 for the manufacture of a medicament.
  - 30. The use of a compound according to any of Claims 1 to 15 for the manufacture of a medicament for inhibiting a disease associated with estrogen deprivation.
- 30 31. The use according to Claim 30 wherein said disease is bone loss.

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- 32. The use according to Claim 30 wherein said disease is cardiovascular disease.
- 33. The use of a compound according to any of Claims 1 to 15 for the manufacture of a medicament for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen.
- 34. The use according to Claim 33 wherein said disease is estrogen dependent cancer.
- 10 35. The use according to Claim 34 wherein said cancer is breast cancer.
  - 36. The use according to Claim 33 wherein the disease associated with an aberrant physiological response to endogenous estrogen is endometriosis.
- 15 37. The use according to Claim 33 wherein the disease associated with aberrant physiological response to endogenous estrogen is uterine fibrosis.
  - 38. A pharmaceutical composition for inhibiting a disease associated with deprivation containing as an active ingredient a compound according to Claims 1 to 15.
  - 39. A pharmaceutical composition for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen containing as an active ingredient a compound according to any of Claims 1 to 15.

REPLACEMENT SHEET

राज्य । जनसङ्ख्या<mark>सका</mark>त्रः सम्बद्धाः गाणसङ्ख्या